09/288,556

G1 C,N

.5

Structure attributes must be viewed using STN Express query preparation.

=> s l1 SAMPLE SEARCH INITIATED 13:10:35 FILE 'REGISTRY' SAMPLE SCREEN SEARCH COMPLETED - 182 TO ITERATE

100.0% PROCESSED 182 ITERATIONS SEARCH TIME: 00.00.01

6 ANSWERS

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**

PROJECTED ITERATIONS: PROJECTED ANSWERS:

2831 TO 4449 6 TO 266

L2 6 SEA SSS SAM L1

=> s l1 sss full FULL SEARCH INITIATED 13:10:42 FILE 'REGISTRY' FULL SCREEN SEARCH COMPLETED - 4023 TO ITERATE

100.0% PROCESSED 4023 ITERATIONS SEARCH TIME: 00.00.01

127 ANSWERS

L3 127 SEA SSS FUL L1

=> file caplus COST IN U.S. DOLLARS

SINCE FILE TOTAL ENTRY SESSION 148.15 148.36

FULL ESTIMATED COST

FILE 'CAPLUS' ENTERED AT 13:10:48 ON 09 JUN 2003 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS. COPYRIGHT (C) 2003 AMERICAN CHEMICAL SOCIETY (ACS)

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FILE COVERS 1907 - 9 Jun 2003 VOL 138 ISS 24 FILE LAST UPDATED: 8 Jun 2003 (20030608/ED) 41

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s 13 L4 9 L3

INVENTOR (S):

=> d l4 1-9 ibib abs hitstr

L4 ANSWER 1 OF 9 CAPLUS COPYRIGHT 2003 ACS ACCESSION NUMBER: 2002:675809 CAPLUS

DOCUMENT NUMBER: 137:206568

TITLE: Solid dispersion compositions containing hydroxypropyl

methyl cellulose phthalate Bateman, Nicola; Cahill, Julie

PATENT ASSIGNEE(S): Astrazeneca AB, Swed. SOURCE: PCT Int. Appl., 20 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

```
PATENT NO.
                     KIND DATE
                                            APPLICATION NO. DATE
                     ----
                            -----
                                            -----
     WO 2002067904
                      A1
                             20020906
                                           WO 2002-SE327
                                                             20020225
         W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
             CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
             GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
             LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,
             PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU,
             TJ, TM
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH,
             CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR,
             BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
PRIORITY APPLN. INFO.:
                                        GB 2001-4752
                                                          A 20010227
     The invention relates to pharmaceutical compns., in particular, oral
     compns. which comprise a solid dispersion of a hydroxypropyl Me cellulose
     phthalate polymer, preferably HP-55 or HP-55S, and a drug which has
     pH-sensitive soly. 1-(6-Chloronaphth-2-ylsulfonyl)-4-[4-(4-
     pyridyl)benzoyl]piperazine-HCl 0.5 g, and 2.5 g polymer (HP-55S) were
     dissolved in 63 mL MeOH/CH2Cl2 (1:1). The solvent was removed and the
     formulation was dried under high vacuum at 40.degree. for 24 h. The
     formulation was then dry milled, and dried for a further 24 h under high
             The formulations were weighed into hard gelatin capsules and
     dissolved in 0.1N HCl for 1 h at 37.degree.. All solid dispersion
     formulations show a significant improvement over the drug in suspension.
     A redn. in the levels of supersatn. (percent released) was seen as the
     amt. of polymer present in the formulation was decreased.
IT
     249292-02-2 249292-05-5 329761-78-6
    RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
        (solid dispersion compns. contg. hydroxypropyl Me cellulose phthalate)
RN
     249292-02-2 CAPLUS
    Piperazine, 1-[(5-chloro-1H-indol-2-yl)sulfonyl]-4-[4-(4-
CN
    pyridinyl)benzoyl] - (9CI) (CA INDEX NAME)
```

09/288,556

$$\begin{array}{c|c}
H & O & N & C \\
N & S & N & C
\end{array}$$

RN 249292-05-5 CAPLUS CN Piperazine, 1-[(5-chloro-1H-indol-2-yl)sulfonyl]-4-[4-(1H-imidazol-1-yl)benzoyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c}
H & O & N & N \\
N & S & N & C & N
\end{array}$$

RN 329761-78-6 CAPLUS
CN Piperazine, 1-[(5-chloro-1H-indol-2-yl)sulfonyl]-4-[4-(4-pyridinyl)benzoyl]-, monomethanesulfonate (9CI) (CA INDEX NAME)

CM 1

CRN 249292-02-2 CMF C24 H21 Cl N4 O3 S

$$\begin{array}{c|c} & & & & \\ & &$$

CM 2

CRN 75-75-2 CMF C H4 O3 S

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 2 OF 9 CAPLUS COPYRIGHT 2003 ACS ACCESSION NUMBER: 2002:368345 CAPLUS DOCUMENT NUMBER: 136:374861 TITLE: Oral pharmaceutical composition containing a block copolymer INVENTOR(S): Bateman, Nicola; Cahill, Julie PATENT ASSIGNEE(S): Astrazeneca AB, Swed. SOURCE: PCT Int. Appl., 18 pp. CODEN: PIXXD2 DOCUMENT TYPE: Patent LANGUAGE: English FAMILY ACC. NUM. COUNT: PATENT INFORMATION: PATENT NO. KIND DATE APPLICATION NO. DATE _ _ _ _ _____ WO 2002038184 A1 20020516 20011107 WO 2001-SE2470 WC 2001-SE2470 20011107

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG AU 2002014466 A5 20020521 AU 2002-14466 20011107 A 20001109 A 20010227 20011107 PRIORITY APPLN. INFO.: GB 2000-27375 GB 2001-4751 WO 2001-SE2470 W 20011107 Oral pharmaceutical compns. with improved bioavailability comprise a water AB miscible micelle-forming block copolymer and a drug. The copolymer can be a diblock, triblock, or multiblock copolymer. A block segment may be, e.g., poly(L-lactide), poly(D-, L-, or DL-lactic acid) or polyethylene glycol. 249292-02-2 249292-05-5 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (prepn. of oral pharmaceutical compn. contg. block copolymers with improved bioavailability) RN 249292-02-2 CAPLUS Piperazine, 1-[(5-chloro-1H-indol-2-yl)sulfonyl]-4-[4-(4-CN

pyridinyl)benzoyl] - (9CI) (CA INDEX NAME)

RN 249292-05-5 CAPLUS
CN Piperazine, 1-[(5-chloro-1H-indol-2-yl)sulfonyl]-4-[4-(1H-imidazol-1-yl)benzoyl]- (9CI) (CA INDEX NAME)

REFERENCE COUNT:

4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 9 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER:

2002:256243 CAPLUS

DOCUMENT NUMBER:

136:294851

TITLE:

Preparation of piperazine (hetero)aryl ketones and

sulfones as factor Xa inhibitors for treatment of

thrombosis or coagulation disorders

INVENTOR(S):

Zhu, Bing-Yan; Jia, Zhaozhong Jon; Zhang, Penglie; Huang, Wenrong; Wu, Yanhong; Zuckett, Jingmei Fan; Goldman, Erik A.; Wang, Lingyan; Song, Yonghong;

Scarborough, Robert M.

PATENT ASSIGNEE(S):

Cor Therapeutics, Inc., USA

SOURCE:

PCT Int. Appl., 128 pp. CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO. KI			KI	ND	DATE			APPLICATION NO.					DATE				
							 -		_								
		2002026720				20020404			WO 2001-US30315 20011001								
WO	2002	2002026720		Α	3	20021031											
	W:	ΑE,	AG,	AL,	AM,	ΑT,	AU,	ΑZ,	BA,	BB,	BG,	BR,	BY,	BZ,	CA,	CH,	CN.
		CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DΖ,	EC,	EE,	ES,	FI,	GB,	GD,	GE,	GH,
		GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KΡ,	KR,	KZ,	LC,	LK,	LR,
		LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NO,	NZ,	PH,	PL,
		PT,	RO,	RU,	SD,	SE,	SG,	SI,	SK,	SL,	TJ,	TM,	TR,	TT,	TZ,	UA,	UG,
		US,	UΖ,	VN,	YU,	ZA,	ZW,	AM,	ΑZ,	BY,	KG,	ΚZ,	MD,	RU,	ТJ,	TM	-
	RW:	GH,	GM,	KΕ,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	ŪĠ,	ZW,	AT,	BE,	CH,	CY,
		DE,	DK,	ES,	FI,	FR,	GB,	GR,	ΙE,	ΙT,	LU,	MC,	NL,	PT,	SE,	TR,	BF,
		ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,	MR,	ΝE,	SN,	TD,	TG	
PRIORITY APPLN. INFO.:							Ţ	JS 20					2000				
OTHER SOURCE(S):				MAR	PAT :	136:2	2948!	51									

$$A-Q-V-N$$
 $N-G-J$ $(R^2)_{0?2}$ I

$$\begin{array}{c|c} & NH & O & O & O \\ II & II & O & II \\ Me_2N-C & O & N-S & II \\ O & N & II \end{array}$$

Title compds. I [wherein A = (un) substituted imidazolinyl, tetrahydropyrimidinyl, tetrahydro-1H-1,3-diazepinyl, imidamido(alkyl), guanidinyl, amino(alkyl), ammoniomethyl, Ph, pyridinyl, etc.; Q = (un) substituted phenylene, pyrimidinediyl, pyridinediyl, pyrazinediyl, pyrrolediyl, furandiyl, thiophenediyl, piperidinediyl, or pyrrolidinediyl; V = CH2 or CO; G = CO or SO2; J = (un) substituted naphthyl,(iso)quinolinyl, quinazolinyl, indolyl, benzothiophenyl, benzofuranyl, benzimidazolyl, benzothiazolyl, benzoxazolyl, etc.; R1 and R2 = independently H, alkyl, hydroxyalkyl, aminoalkyl, cyanoalkyl, carboxyalkyl, alkoxycarbonylalkyl, or carbamoylalkyl; and pharmaceutically acceptable isomers, salts, hydrates, solvates, and prodrugs thereof] were prepd. For example, 1-Boc-5-chloro-2-indolylsulfonyl chloride was coupled with 1-Boc-piperazine in DCM in the presence of pyridine to give the sulfonamide (95%). Deprotection using HCl gas (99%), followed by acylation with 4-cyanobenzoyl chloride in pyridine in the presence of DMAP (73%) and treatment with HCl and dimethylamine, afforded II. I are highly selective inhibitors of factor Xa and are useful for the treatment of diseases characterized by undesired thrombosis or coagulation disorders (no data).

IT 406717-76-8P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses) (factor Xa inhibitor; prepn. of piperazine (hetero)aryl ketones and sulfones as factor Xa inhibitors for treatment of thrombosis or coagulation disorders)

RN 406717-76-8 CAPLUS CN 2-Piperazinecarboxy

2-Piperazinecarboxylic acid, 4-[(5-chloro-1H-indol-2-yl)sulfonyl]-1-[4-(4,5-dihydro-1-methyl-1H-imidazol-2-yl)benzoyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\$$

406714-45-2P 406714-46-3P 406714-47-4P 406714-48-5P 406714-49-6P 406714-53-2P 406714-57-6P 406714-78-1P 406714-79-2P 406714-80-5P 406714-81-6P 406714-82-7P 406714-83-8P 406714-84-9P 406714-85-0P 406715-31-9P 406715-32-0P 406715-46-6P 406715-47-7P 406715-70-6P 406715-71-7P 406715-78-4P 406715-79-5P 406717-06-4P 406717-17-7P 406717-41-7P 406717-53-1P 406717-87-1P 406718-11-4P 406718-23-8P 406718-35-2P 406718-36-3P 406718-37-4P 406718-38-5P 406718-39-6P 406718-40-9P 406718-42-1P 406718-43-2P 406718-44-3P 406718-45-4P 406718-46-5P 406718-47-6P 406718-48-7P 406718-49-8P 406718-50-1P 406718-51-2P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(factor Xa inhibitor; prepn. of piperazine (hetero)aryl ketones and sulfones as factor Xa inhibitors for treatment of thrombosis or coagulation disorders)

RN 406714-42-9 CAPLUS

CN Piperazine, 1-[(5-chloro-1H-indol-2-yl)sulfonyl]-4-[4-(4,5-dihydro-1-methyl-1H-imidazol-2-yl)benzoyl]- (9CI) (CA INDEX NAME)

RN 406714-43-0 CAPLUS

CN 1H-Imidazolium, 2-[4-[[4-[(5-chloro-1H-indol-2-yl)sulfonyl]-1-piperazinyl]carbonyl]phenyl]-4,5-dihydro-1,3-dimethyl- (9CI) (CA INDEX NAME)

*** FRAGMENT DIAGRAM IS INCOMPLETE ***

RN 406714-44-1 CAPLUS

CN Piperazine, 1-[(5-chloro-1H-indol-2-yl)sulfonyl]-4-[4-(1-ethyl-4,5-dihydro-1H-imidazol-2-yl)benzoyl]- (9CI) (CA INDEX NAME)

RN 406718-51-2 CAPLUS

CN Piperazine, 4-[(5-chloro-1H-indol-2-yl)sulfonyl]-1-[4-(4,5-dihydro-1-methyl-1H-imidazol-2-yl)benzoyl]-2-[2-oxo-2-(1-piperidinyl)ethyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 4 OF 9 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER:

2001:923631 CAPLUS

DOCUMENT NUMBER:

136:31689

TITLE:

A combination product comprising melagatran and a

Factor Xa inhibitor Mattsson, Christer

INVENTOR(S):
PATENT ASSIGNEE(S):

Astrazeneca AB, Swed.

SOURCE:

PCT Int. Appl., 31 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND DATE	:	APPLICATI	DATE					
	·								
WO 2001095931	A1 2001	1220	WO 2001-S	E1288	20010606				
W: AE, AG	AL, AM, AT,	AU, AZ,	BA, BB, BG,	BR, BY	BZ, CA.	CH. CN.			
CO, CR	CU, CZ, DE,	DK, DM,	DZ, EC, EE,	ES, FI	GB, GD,	GE. GH.			
GM, HR	HU, ID, IL,	IN, IS,	JP, KE, KG,	KP, KR	KZ, LC.	LK. LR.			
LS, LT	LU, LV, MA,	MD, MG,	MK, MN, MW,	MX, MZ	NO. NZ.	PL. PT.			
RO, RU,	SD, SE, SG,	SI, SK,	SL, TJ, TM,	TR, TT,	TZ, UA.	UG, US.			
UZ, VN,	YU, ZA, ZW,	AM, AZ,	BY, KG, KZ,	MD, RU,	TJ. TM				
RW: GH, GM,	KE, LS, MW,	MZ, SD,	SL, SZ, TZ,	UG, ZW,	AT, BE.	CH. CY.			
DE, DK,	ES, FI, FR,	GB, GR,	IE, IT, LU,	MC, NL	PT. SE.	TR. BF.			
BJ, CF,	CG, CI, CM,	GA, GN,	GW, ML, MR.	NE. SN.	TD. TG	, 51,			

EP 1294394 A1 20030326 EP 2001-938923 20010606 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,

IE, SI, LT, LV, FI, RO, MK, CY, AL, TR

NO 2002005909 A 20030207 NO 2002-5909 20021209 PRIORITY APPLN. INFO.: GB 2000-14136 A 20000610

WO 2001-SE1288 W 20010606

OTHER SOURCE(S): MARPAT 136:31689

AB A combination product (a kit) is provided comprising: (A) melagatran or a pharmaceutically-acceptable deriv. thereof; and (B) a Factor Xa inhibitor or a pharmaceutically-acceptable deriv. thereof, wherein each component is formulated in admixt. with a pharmaceutically-acceptable adjuvant, diluent or carrier. The components A and B are suitable for sequential, sep., and/or simultaneous use in the treatment of a condition where anticoagulant therapy is indicated, such as thrombosis and hypercoagulability, or conditions where there is an undesirable excess of thrombin without signs of hypercoagulability, e.g., neurodegenerative diseases. For example, melagatran and the Factor Xa inhibitor YM 60828 showed synergistic effect in vitro when they are tested in a prothrombin time clotting assay.

IT 259803-11-7P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(combination product comprising melagatran and factor Xa inhibitor as anticoagulants)

RN 259803-11-7 CAPLUS

CN Piperazine, 1-[(5-chloro-1H-indol-2-yl)sulfonyl]-4-[4-(1-oxido-4-pyridinyl)benzoyl]- (9CI) (CA INDEX NAME)

IT 249292-02-2

RL: RCT (Reactant); RACT (Reactant or reagent)
 (combination product comprising melagatran and factor Xa inhibitor as
 anticoagulants)

RN 249292-02-2 CAPLUS

CN Piperazine, 1-[(5-chloro-1H-indol-2-yl)sulfonyl]-4-[4-(4-pyridinyl)benzoyl]- (9CI) (CA INDEX NAME)

2

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

```
ACCESSION NUMBER:
                           2001:185746 CAPLUS
 DOCUMENT NUMBER:
                           134:227351
 TITLE:
                           Piperazine derivatives as inhibitors of factor Xa
 INVENTOR(S):
                           James, Roger; Ashford, Marianne Bernice
PATENT ASSIGNEE(S):
                           Astrazeneca UK Limited, UK
SOURCE:
                           PCT Int. Appl., 15 pp.
                           CODEN: PIXXD2
DOCUMENT TYPE:
                           Patent
LANGUAGE:
                           English
FAMILY ACC. NUM. COUNT:
PATENT INFORMATION:
     PATENT NO.
                      KIND DATE
                                             APPLICATION NO. DATE
      WO 2001017990
                       A1
                              20010315
                                             WO 2000-GB2770
                                                              20000719
         SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
PRIORITY APPLN. INFO.:
                                          GB 1999-17344
                                                           A 19990724
     The invention relates to pharmaceutically-acceptable salts of
     1-(5-chloroindol-2-ylsulfonyl)-4-[4-(4-pyridyl)benzoyl] piperazine (I) and
     reduced particle sized forms of either the compd. or a
     pharmaceutically-acceptable salt thereof, which possess antithrombotic and
     anticoagulant properties and accordingly are useful in methods of
     treatment of humans or animals. The invention also relates to processes
     for the prepn. of pharmaceutically-acceptable salts of the above compd.
     and reduced particle size forms thereof, to pharmaceutical compns. contg.
     them and to their use in the manuf. of medicaments for use in the prodn.
     of an antithrombotic or anticoagulant effect in humans. I.methane
     sulfonate was prepd. by the reaction of I with methane sulfonic acid.
     tablet contained I.methane sulfonate 1.0, lactose 93.25, croscarmellose
     sodium 4.0, maize starch paste 0.75, and magnesium stearate 1.0 mg.
IT
     249292-02-2
     RL: RCT (Reactant); THU (Therapeutic use); BIOL (Biological study); RACT
     (Reactant or reagent); USES (Uses)
        (piperazine derivs. as inhibitors of factor Xa)
RN
     249292-02-2 CAPLUS
CN
     Piperazine, 1-[(5-chloro-1H-indol-2-yl)sulfonyl]-4-[4-(4-
     pyridinyl)benzoyl] - (9CI) (CA INDEX NAME)
```

ANSWER 5 OF 9 CAPLUS COPYRIGHT 2003 ACS

09/288,556

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(piperazine derivs. as inhibitors of factor Xa)

RN 329761-78-6 CAPLUS

CN Piperazine, 1-[(5-chloro-1H-indol-2-yl)sulfonyl]-4-[4-(4-pyridinyl)benzoyl]-, monomethanesulfonate (9CI) (CA INDEX NAME)

CM 1

CRN 249292-02-2 CMF C24 H21 Cl N4 O3 S

$$\begin{array}{c|c} H & O & N & C \\ \hline & N & S & N \\ \hline & O & N \\ \hline & O & O \\ \hline$$

CM 2

CRN 75-75-2 CMF C H4 O3 S

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 6 OF 9 CAPLUS COPYRIGHT 2003 ACS ACCESSION NUMBER: 2000:911244 CAPLUS

DOCUMENT NUMBER: 134:71608

TITLE: Preparation of 4-{4-[4-(5-chloroindol-2-

ylsulfonyl)piperazine-1-carbonyl]phenyl}pyridine-1-

oxide as factor Xa inhibitor

INVENTOR(S): James, Roger

PATENT ASSIGNEE(S): Astrazeneca UK Limited, UK SOURCE: PCT Int. Appl., 13 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE

WO 2000078749 A1 20001228 WO 2000-GB2319 20000614

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU,

LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: GB 1999-14342 A 19990619

AB The title 4-{4-[4-(5-chloroindol-2-ylsulfonyl)piperazine-1-carbonyl]phenyl}pyridine-1-oxide (I) which possesses antithrombotic and anticoagulant properties, was prepd. by treatment of 1-(5-chloroindol-2-ylsulfonyl)-4-[4-(4-pyridyl)benzoyl]piperazine with 3-chloroperoxybenzoic acid in CH2Cl2. The compd. I showed an IC50 of 0.008 .mu.M in vitro assay for Factor Xa inhibition.

IT 259803-11-7P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of 4-{4-[4-(5-chloroindol-2-ylsulfonyl)piperazine-1-carbonyl]phenyl}pyridine-1-oxide as factor Xa inhibitor)

RN 259803-11-7 CAPLUS

CN Piperazine, 1-[(5-chloro-1H-indol-2-yl)sulfonyl]-4-[4-(1-oxido-4-pyridinyl)benzoyl]- (9CI) (CA INDEX NAME)

IT 249292-02-2

RN

RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. of 4-{4-[4-(5-chloroindol-2-ylsulfonyl)piperazine-1-carbonyl]phenyl}pyridine-1-oxide as factor Xa inhibitor)
249292-02-2 CAPLUS

CN Piperazine, 1-[(5-chloro-1H-indol-2-yl)sulfonyl]-4-[4-(4-pyridinyl)benzoyl]- (9CI) (CA INDEX NAME)

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 7 OF 9 CAPLUS COPYRIGHT 2003 ACS ACCESSION NUMBER: 2000:133658 CAPLUS DOCUMENT NUMBER: 132:194391

TITLE: Preparation of sulfonyl moiety-containing heterocyclic

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compounds as factor Xa inhibitors
 INVENTOR(S):
                            Kobayashi, Syozo; Komoriya, Satoshi; Haginoya,
                            Noriyasu; Suzuki, Masanori; Yoshino, Toshiharu;
Nagahara, Takayasu; Nagata, Tsutomu; Horino, Haruhiko;
                            Ito, Masayuki; Mochizuki, Akiyoshi
PATENT ASSIGNEE(S):
                            Daiichi Pharmaceutical Co., Ltd., Japan
SOURCE:
                            PCT Int. Appl., 883 pp.
                            CODEN: PIXXD2
DOCUMENT TYPE:
                            Patent
LANGUAGE:
                            Japanese
FAMILY ACC. NUM. COUNT:
PATENT INFORMATION:
      PATENT NO.
                       KIND DATE
                                               APPLICATION NO. DATE
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                                                -----
      WO 2000009480
                       A1
                               20000224
                                               WO 1999-JP4344
                                                                 <u>1999</u>0811
          W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ,
              MD, RU, TJ, TM
          RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK,
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                                                JP 1999-242814
                                                                  19990830
PRIORITY APPLN. INFO.:
                                            JP 1998-227449
                                                              A 19980811
                                            JP 1998-244175
                                                               Α
                                                                  19980828
                                            JP 1998-251674
                                                               Α
                                                                  19980904
                                            WO 1999-JP4344
                                                             W 19990811
OTHER SOURCE(S):
                           MARPAT 132:194391
     The title compds. Q1Q2T1Q3SO2QA [wherein Q1 is an optionally substituted,
     satd. or unsatd., five- or six-membered cyclic hydrocarbon group, a five-
     or six-membered heterocyclic group, or the like; Q2 is a single bond,
     oxygen, sulfur, C1-C6 alkylene or the like; Q3 is a heterocyclic ring
     (represented by several generic structures); QA is optionally substituted
     arylalkenyl, heteroarylalkenyl or the like; and T1 is carbonyl or the
     like] are prepd. These compds. have potent factor Xa inhibiting effects
     and promptly exert satisfactory and persistent antithrombotic effects
     through oral administration, thus being useful as anticoagulant agents
     little accompanied with side effects. Several compds. of this invention
     in vitro showed IC50 values of 0.7 nM to 4.7 nM against factor Xa.
ΙT
     249292-07-7P 259802-86-3P 259802-87-4P
     259802-88-5P 259802-89-6P 259802-90-9P
     259802-91-0P 259802-92-1P 259802-94-3P
     259802-95-4P 259802-96-5P 259802-97-6P
     259802-98-7P 259802-99-8P 259803-00-4P
     259803-01-5P 259803-02-6P 259803-03-7P
     259803-04-8P 259803-05-9P 259803-07-1P
     259803-08-2P 259803-10-6P 259803-11-7P
     259803-12-8P 259803-13-9P 259803-14-0P
     259803-15-1P 259803-16-2P 259803-17-3P
     259803-18-4P 259803-19-5P 259803-20-8P
     259803-21-9P 259803-22-0P 259803-23-1P
     259803-24-2P 259803-31-1P 259803-32-2P
     259803-78-6P 259803-79-7P 259804-14-3P
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259804-15-4P 259805-03-3P 259805-04-4P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of sulfonyl moiety-contg. heterocyclic compds. as factor Xa inhibitors)

RN 249292-07-7 CAPLUS

CN Piperazine, 1-[(5-chloro-1H-benzimidazol-2-yl)sulfonyl]-4-[4-(4-pyridinyl)benzoyl]- (9CI) (CA INDEX NAME)

RN 259802-86-3 CAPLUS

CN Piperazine, 1-[(6-chloro-1-phenyl-1H-indol-2-yl)sulfonyl]-4-[4-(4-pyridinyl)benzoyl]- (9CI) (CA INDEX NAME)

RN 259802-87-4 CAPLUS

CN Piperazine, 1-[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]-4-[4-(4-pyridinyl)benzoyl]-, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 259802-88-5 CAPLUS

CN Piperazine, 1-[[1-(phenylsulfonyl)-5-[(trimethylsilyl)ethynyl]-1H-indol-2-yl]sulfonyl]-4-[4-(4-pyridinyl)benzoyl]- (9CI) (CA INDEX NAME)

RN 259802-89-6 CAPLUS
CN Piperazine, 1-[(5-chloro-2-benzofuranyl)sulfonyl]-4-[4-(4-pyridinyl)benzoyl]-, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 259802-90-9 CAPLUS
CN Piperazine, 1-[(6-chloro-2-benzofuranyl)sulfonyl]-4-[4-(4-pyridinyl)benzoyl]-, monohydrochloride (9CI) (CA INDEX NAME)

HCl

RN 259802-91-0 CAPLUS CN Piperazine, 1-[[5-chloro-1-(phenylsulfonyl)-1H-indol-2-yl]sulfonyl]-4-[4-(4-pyridinyl)benzoyl]- (9CI) (CA INDEX NAME)

RN 259805-03-3 CAPLUS

Piperazine, 1-[(5-chloro-1H-benzimidazol-2-yl)sulfonyl]-4-[4-(4-CN pyridinyl)benzoyl]-, monohydrochloride (9CI) (CA INDEX NAME)

HCl

RN259805-04-4 CAPLUS

CN Piperazine, 1-[(5-chloro-1H-benzimidazol-2-yl)sulfonyl]-4-[4-(1-oxido-4pyridinyl)benzoyl] - (9CI) (CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 67 CITED REFERENCES AVAILABLE FOR THIS 67 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

CAPLUS COPYRIGHT 2003 ACS ANSWER 8 OF 9 ACCESSION NUMBER:

DOCUMENT NUMBER:

1999:723030 CAPLUS

131:322629

TITLE:

Preparation of 1-heteroarylsulfonyl-4-

heteroarylbenzoylpiperazines and analogs as Factor Xa

inhibitors

INVENTOR (S):

Caulkett, Peter William Rodney; James, Roger; Pearson, Stuart Eric; Slater, Anthony Michael; Walker, Rolf

Peter

PATENT ASSIGNEE(S):

SOURCE:

Zeneca Limited, UK PCT Int. Appl., 39 pp.

CODEN: PIXXD2

DOCUMENT TYPE: LANGUAGE: Patent English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

Progent applications

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PATENT NO.
                               KIND DATE
                                                             APPLICATION NO. DATE
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       WO 9957113
                                A1
                                        19991111
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                                                                                      19990427
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                  MD, RU, TJ, TM
            RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
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                AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
                  IE, SI, LT, LV, FI, RO
      EE 200000527
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                                                             NZ 1999-507835
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                                                                                      20001101
PRIORITY APPLN. INFO.:
                                                         GB 1998-9351
                                                                                 Α
                                                                                     19980502
                                                         GB 1999-3337
                                                                                 Α
                                                                                     19990216
                                                         WO 1999-GB1308
                                                                                 W 19990427
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OTHER SOURCE(S):

MARPAT 131:322629

AB RZCOZISO2R1 [R = (un) substituted heteroaryl; R1 = (un) substituted 2-indolyl, -2-benzimidazolyl, -2-benzo[b] furanyl, etc.; Z = (un) substituted 1,4-phenylene; Z1 = (un) substituted piperidine-4,1-diyl or -piperazine-1,4-diyl] were prepd. Thus, 5-chlorobenzo[b] furan-2-sulfonyl chloride was amidated by piperazine and the product amidated by 4-(4-pyridyl) benzoic acid to give title compd. I. Data for biol. activity of I were given.

IT 207798-76-3P 249292-01-1P 249292-02-2P 249292-03-3P 249292-04-4P 249292-05-5P 249292-06-6P 249292-07-7P 249292-09-9P 249292-10-2P 249292-11-3P 249292-12-4P 249292-13-5P 249292-14-6P 249292-15-7P 249292-16-8P 249292-17-9P 249292-18-0P 249292-19-1P 249292-20-4P 249292-21-5P 249292-26-0P 249292-23-7P 249292-24-8P 249292-26-0P 249292-31-7P 249292-31-7P

249292-32-8P 249292-33-9P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of 1-heteroarylsulfonyl-4-heteroarylbenzoylpiperazines and analogs as Factor Xa inhibitors)

RN 207798-76-3 CAPLUS

CN 'Piperazine, 1-[(5-chloro-2-benzofuranyl)sulfonyl]-4-[4-(4pyridinyl)benzoyl]- (9CI) (CA INDEX NAME)

RN 249292-01-1 CAPLUS

CN Piperazine, 1-[(5-chloro-2-benzofuranyl)sulfonyl]-4-[4-(1H-imidazol-1-yl)benzoyl]- (9CI) (CA INDEX NAME)

RN 249292-02-2 CAPLUS

CN Piperazine, 1-[(5-chloro-1H-indol-2-yl)sulfonyl]-4-[4-(4-pyridinyl)benzoyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & &$$

RN 249292-03-3 CAPLUS

CN Piperazine, 1-[(5-chloro-1H-indol-2-yl)sulfonyl]-4-[4-(4-pyrimidinyl)benzoyl]- (9CI) (CA INDEX NAME)

RN 249292-04-4 CAPLUS

CN Piperazine, 1-[(5-chloro-1H-indol-2-yl)sulfonyl]-4-[4-(4-pyridazinyl)benzoyl]- (9CI) (CA INDEX NAME)

RN 249292-05-5 CAPLUS

CN Piperazine, 1-[(5-chloro-1H-indol-2-yl)sulfonyl]-4-[4-(1H-imidazol-1-yl)benzoyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c}
H & O & N & C \\
N & S & N & C
\end{array}$$

RN 249292-06-6 CAPLUS

CN Piperazine, 1-[(6-chloro-1H-indol-2-yl)sulfonyl]-4-[4-(4-pyridinyl)benzoyl]- (9CI) (CA INDEX NAME)

$$C1$$
 H
 S
 N
 C

RN 249292-07-7 CAPLUS

CN Piperazine, 1-[(5-chloro-1H-benzimidazol-2-yl)sulfonyl]-4-[4-(4-pyridinyl)benzoyl]- (9CI) (CA INDEX NAME)

RN 249292-09-9 CAPLUS

CN Piperazine, 1-[(5-bromo-1H-indol-2-yl)sulfonyl]-4-[4-(4-pyridinyl)benzoyl]-(9CI) (CA INDEX NAME)

RN 249292-10-2 CAPLUS

CN Piperazine, 1-[(5-chloro-1H-indol-2-yl)sulfonyl]-4-[4-(1,6-dihydro-6-oxo-3-pyridazinyl)benzoyl]- (9CI) (CA INDEX NAME)

RN 249292-11-3 CAPLUS

CN Piperazine, 1-[(5-fluoro-1H-indol-2-yl)sulfonyl]-4-[4-(4-pyridinyl)benzoyl]- (9CI) (CA INDEX NAME)

RN 249292-12-4 CAPLUS

CN Piperazine, 1-[(5-chloro-1H-indol-2-yl)sulfonyl]-4-[4-(2-pyridinyl)benzoyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} H & O & N & C \\ \hline N & S & N & C \\ \hline \end{array}$$

RN 249292-13-5 CAPLUS

CN Piperazine, 1-[(5-bromo-1H-indol-2-yl)sulfonyl]-4-[4-(1H-imidazol-1-yl)benzoyl]- (9CI) (CA INDEX NAME)

RN 249292-14-6 CAPLUS

CN Piperazine, 1-[(5-chloro-1H-indol-2-yl)sulfonyl]-4-[4-(2-methyl-1H-imidazol-1-yl)benzoyl]- (9CI) (CA INDEX NAME)

RN 249292-15-7 CAPLUS

CN Piperazine, 1-[(5-chloro-1H-indol-2-yl)sulfonyl]-4-[4-(1H-imidazol-2-yl)benzoyl]- (9CI) (CA INDEX NAME)

RN 249292-16-8 CAPLUS

CN Piperazine, 1-[(5-chloro-1H-indol-2-yl)sulfonyl]-4-[4-(1H-imidazol-4-yl)benzoyl]- (9CI) (CA INDEX NAME)

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RN 249292-17-9 CAPLUS

CN Piperazine, 1-[(5-bromo-1H-indol-2-yl)sulfonyl]-4-[4-(1H-imidazol-4-yl)benzoyl]- (9CI) (CA INDEX NAME)

RN 249292-18-0 CAPLUS

CN Piperazine, 1-[(5-chloro-1H-indol-2-yl)sulfonyl]-4-[4-(1-methyl-1H-imidazol-4-yl)benzoyl]- (9CI) (CA INDEX NAME)

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RN 249292-19-1 CAPLUS

CN Piperazine, 1-[(5-chloro-2-benzofuranyl)sulfonyl]-4-[4-(2-methyl-1H-imidazol-4-yl)benzoyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ &$$

RN 249292-20-4 CAPLUS

CN Piperazine, 1-[(5-chloro-1H-indol-2-yl)sulfonyl]-4-[4-(2-methyl-1H-imidazol-4-yl)benzoyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ &$$

RN 249292-21-5 CAPLUS

CN Piperazine, 1-[(5-bromo-1H-indol-2-yl)sulfonyl]-4-[4-(2-methyl-1H-imidazol-4-yl)benzoyl]- (9CI) (CA INDEX NAME)

RN 249292-22-6 CAPLUS

CN Piperazine, 1-[4-(2-amino-1H-imidazol-4-yl)benzoyl]-4-[(5-chloro-1H-indol-2-yl)sulfonyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ &$$

RN 249292-23-7 CAPLUS

CN Piperazine, 1-[(5-chloro-2-benzofuranyl)sulfonyl]-4-[4-(1,6-dihydro-6-oxo-3-pyridazinyl)benzoyl]- (9CI) (CA INDEX NAME)

RN 249292-24-8 CAPLUS

CN Piperazine, 1-[(5-chloro-1H-benzimidazol-2-yl)sulfonyl]-4-[4-(1,6-dihydro-6-oxo-3-pyridazinyl)benzoyl]- (9CI) (CA INDEX NAME)

RN 249292-26-0 CAPLUS

CN Piperazine, 1-[(5-chloro-1H-indol-2-yl)sulfonyl]-4-[4-[6-(dimethylamino)-3-pyridazinyl]benzoyl]- (9CI) (CA INDEX NAME)

RN 249292-27-1 CAPLUS

CN Piperazine, 1-[(5-chloro-1H-indol-2-yl)sulfonyl]-4-[4-(6-chloro-3-pyridazinyl)benzoyl]- (9CI) (CA INDEX NAME)

RN 249292-28-2 CAPLUS

CN Piperazine, 1-[4-(6-amino-3-pyridazinyl)benzoyl]-4-[(5-chloro-1H-indol-2-yl)sulfonyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ &$$

RN 249292-29-3 CAPLUS

CN Piperazine, 1-[(5-chloro-1H-indol-2-yl)sulfonyl]-4-[4-[6-(methylamino)-3-pyridazinyl]benzoyl]- (9CI) (CA INDEX NAME)

RN 249292-30-6 CAPLUS

CN Piperazine, 1-[(5-chloro-1H-indol-2-yl)sulfonyl]-4-[4-[6-(dimethylamino)-4-pyrimidinyl]benzoyl]- (9CI) (CA INDEX NAME)

RN 249292-31-7 CAPLUS

CN Piperazine, 1-[4-(6-amino-4-pyrimidinyl)benzoyl]-4-[(5-chloro-1H-indol-2-yl)sulfonyl]- (9CI) (CA INDEX NAME)

RN 249292-32-8 CAPLUS

CN Piperazine, 1-[(5-chloro-1H-indol-2-yl)sulfonyl]-4-[4-[6-(methylamino)-4-pyrimidinyl]benzoyl]- (9CI) (CA INDEX NAME)

RN 249292-33-9 CAPLUS

CN Piperazine, 1-[(5-chloro-2-benzofuranyl)sulfonyl]-4-[4-(1,4-dihydro-4-oxo-5-pyrimidinyl)benzoyl]- (9CI) (CA INDEX NAME)

REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 9 OF 9 CAPLUS COPYRIGHT 2003 ACS ACCESSION NUMBER: 1998:341547 CAPLUS

DOCUMENT NUMBER: 129:16141

TITLE: Preparation of 1-(naphthylsulfonyl)-4-

benzoylpiperazines and related compounds as inhibitors

of Factor Xa.

INVENTOR(S): Preston, John; Stocker, Andrew; Turner, Paul; Smithers, Michael James; Rayner, John Wall

PATENT ASSIGNEE(S): Zeneca Ltd., UK; Preston, John; Stocker, Andrew;

Turner, Paul; Smithers, Michael James; Rayner, John

Wall

SOURCE: PCT Int. Appl., 55 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PA 	TENT NO.		KIN	D DATE			A	PPLI	CATI	ON N	ο.	DATE			
WO	KZ PL US RW: GH	, AM, , EE, , LC, , PT, , UZ, , KE,	AT, ES, LK, RO, VN, LS,	AU, AZ, FI, GB, LR, LS, RU, SD, YU, ZW,	0522 BA, GE, LT, SE, AM, SZ,	BB, GH, LU, SG, AZ, UG,	BG, HU, LV, SI, BY,	BR, ID, MD, SK, KG, AT,	97-G BY, IL, MG, SL, KZ, BE,	B303 CA, IS, MK, TJ, MD, CH.	CH, JP, MN, TM, RU, DE.	1997 CN, KE, MW, TR, TJ,	CU, KG, MX, TT, TM	CZ, KP, NO, UA,	KR, NZ, UG,
AU	GN,	мь,	MR,	NE, SN,	TD,	TG									GA,
ΆU	731929		B2	A1 19980603 AU 1997-48748 199711 B2 20010405								1104			
EP	937048		Δ1	1999	1825	EP 1997-911333 19971104									
	R: AT,	BE	CH	יככב אם פר	FC -	מים	CD EF	יבר י	ラ/~ヲ. - TM	L	3 	1997	1104		
	IE.	FI	O11, .	ou, Dic,	БО,	FR,	GD,	GR,	IT,	ы,	ьU,	ΝL,	SE,	MC,	PT,
BR	9712672			1999	1026		BF	199	97-12	2672		1997	1104		
CN	1235597		Α	19991	L117		CN	1 199	97-19	9426	5	1997	1104		
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						W	0 19	9/-G	B303	3	W :	19971	.104		

OTHER SOURCE(S): MARPAT 129:16141

ABX1T1(R2)L1T2(R3)X2Q [I; A = (substituted) 5-6 membered heteroaryl; B = (substituted) phenylene; T1, T2 = CH, N; .gtoreq.1 of T1, R2 = N; X1 = S0, SO2, CO, C(R4)2, O, S; R4 = H, alkyl; L1 = alkylene, alkylenecarbonyl; R2, R3 = H, alkyl; R2R3 = alkylene, CH2CO; Q = (substituted) Ph, naphthyl, phenylalkyl, phenylalkenyl, phenylalkynyl, heterocyclyl; with provisos], were prepd. Thus, Me 4-(4-pyrimidinyl)benzoate (prepn. given) was converted to the acid chloride which was stirred with 1-(6-bromonaphth-2-ylsulfonyl)piperazine hydrochloride and Et3N in CH2Cl2 to give 1-(6-bromonaphth-2-ylsulfonyl)-4-[4-(4-pyrimidinyl)benzoyl]piperazine. I inhibited Factor Xa with IC50 = 0.001-25 .mu.M.

IT 207798-76-3P

CN

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of 1-(naphthylsulfonyl)-4-benzoylpiperazines and related compds. as inhibitors of factor Xa)

RN 207798-76-3 CAPLUS

Piperazine, 1-[(5-chloro-2-benzofuranyl)sulfonyl]-4-[4-(4-pyridinyl)benzoyl]- (9CI) (CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT